

wherein

X and Y each stand for hydrogen or together form a double bond;

R is a group of the formula $-(\text{CH}_2)_n-\text{R}^1-$, wherein

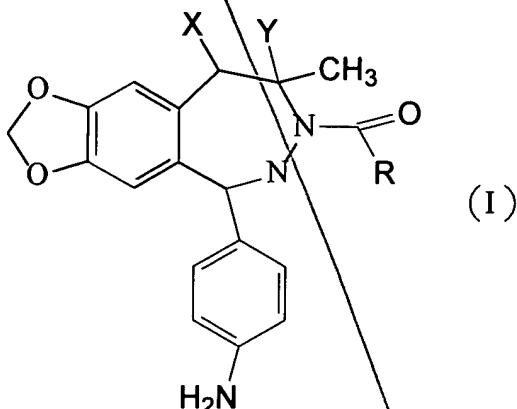
n is 0, 1 or 2 and

R^1 is halogen or a group of the formula NR^2R^3 , wherein R^2 and R^3 independently represent hydrogen, C_{1-4} alkoxy, C_{3-6} cycloalkyl or C_{1-4} alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent;

with the proviso that if X and Y together form a double bond, then n is 1 or 2; or n is 0 and one of R^2 and R^3 is hydrogen and the other is C_{1-4} alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and

~~one oxygen atom and may optionally have an oxo group
 substituent;~~
 and pharmaceutically suitable acid addition salts
 thereof.

~~8. (Twice Amended) A process for the preparation of a
 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,~~



~~wherein X and Y each stand for hydrogen or together form
 a double bond;~~

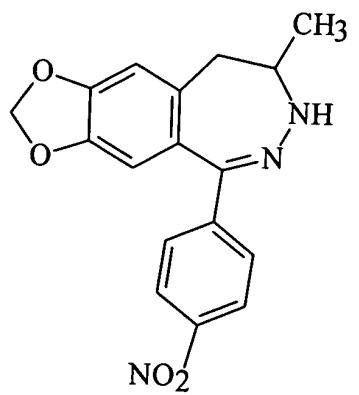
~~R is a group of the formula $-(CH_2)_nR^1-$ wherein
 n is 0, 1 or 2 and~~

~~R¹ is halogen or a group of the formula NR²R³,
 wherein R² and R³ independently represent hydrogen, C₁₋₄
 alkoxy, C₃₋₆ cycloalkyl or C₁₋₄ alkyl optionally
 substituted with a 5 to 6 membered saturated heterocyclic
 ring, which contains one nitrogen, or one nitrogen and
 one oxygen atom and may optionally have an oxo group
 substituent; with the proviso that if X and Y together~~

form a double bond, then n is 1 or 2; or n is 0 and one of R² and R³ is hydrogen and the other is C₁₋₄ alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent; and pharmaceutically suitable acid addition salts thereof;

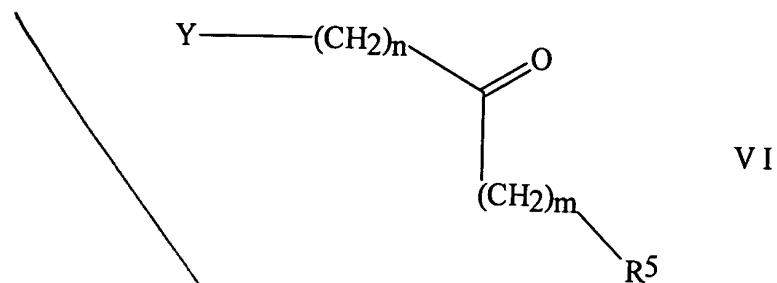
characterized in that

12 a) for the preparation of a compound of the formula I, wherein R¹ represents a group of the formula -(CH₂)_n-CO-(CH₂)_m-R, wherein R stands for a halo atom or a pyridyl group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, R² means a nitro group, A and B represent a hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III



III

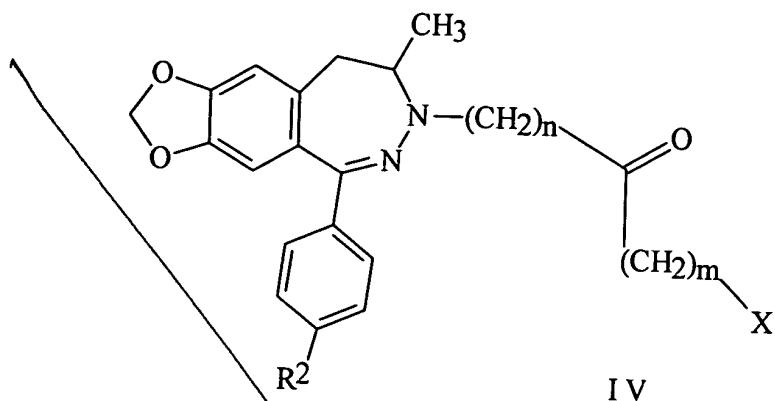
is reacted with a reagent of the formula VI



wherein Y represents a leaving group, R⁵ is a halo atom or a pyridyl group; or

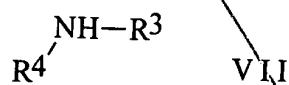
b) for the preparation of a compound of the formula I, wherein R¹ represents a group of the formula -(CH₂)_n-CO-(CH₂)_m-R, wherein R stands for an imidazolyl group, n has a value of 0, m has a value of 0, R² means a nitro group, A and B represent a hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III is reacted with 1,1'-carbonyldiimidazole; or

c) for the preparation of a compound of the formula I, wherein R¹ represents a group of the formula -(CH₂)_n-CO-(CH₂)_m-R, wherein R stands for a group of the formula -NR³R⁴, wherein R³, R⁴, n and m are as defined in Claim 1, R² means a nitro group, A and B represent a hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III is reacted with a reagent of the formula VI, wherein Y and R⁵ represent, independently, a leaving group, n and m are as stated above, and the obtained benzodiazepine compound of the formula IV



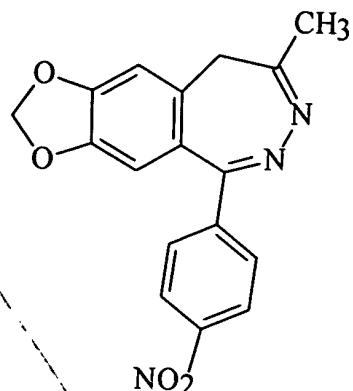
wherein X stand for a leaving group, n and m are as stated above, is reacted with an amine of the formula VII

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wherein R³ and R⁴ are as stated above; or

d) for the preparation of a compound of the formula I, wherein R¹ stands for a group of the formula -CO-(CH₂)_p-R⁶, wherein R⁶ represents a halo atom, a phenoxy group or a C₁₋₄ alkoxy group, p has a value of 0, 1 or 2, A forms together with B a valence bond, R² means a nitro group, the 8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula II



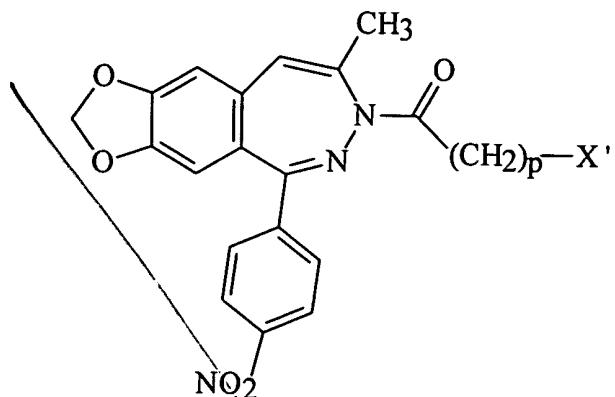
II

is reacted with an acylating agent of the formula IX



wherein Y represents a leaving group, X' stands for a halo atom, a phenoxy group or a C₁₋₄ alkoxy group, p has a value of 0, 1 or 2; or

e) for the preparation of a compound of the formula I,
 wherein R¹ stands for a group of the formula -CO-(CH₂)_p-R⁶, wherein R⁶ represents a group of the formula -NR⁷R⁸, wherein R⁷, R⁸ and p are as defined in Claim 1, A forms together with B a valence bond, R² means a nitro group, the 8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula II is reacted with an acylating agent of the formula IX, wherein each of Y and X' represents, independently, a leaving group, p is as stated above, and the obtained acylated compound of the formula VIII



VIII

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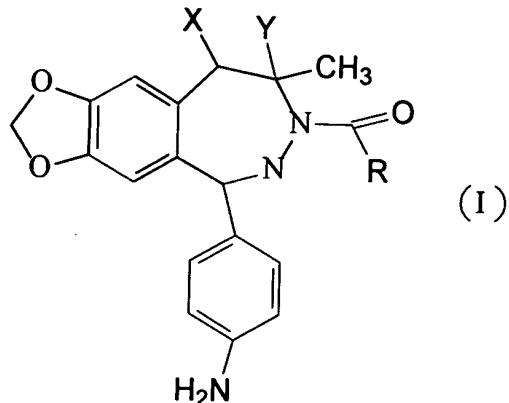
wherein X' and p are as defined above, is reacted with an amine of the formula HNR⁷R⁸, wherein R⁷ and R⁸ are as stated above;

and, optionally the compound of the formula I, wherein R² represents a nitro group, R¹, A and B are as defined in Claim 1, is transformed into a compound of the formula I, wherein R² stands for an amino group, by reduction;

and, optionally the compound of the formula I, wherein R² represents an amino group, R¹, A and B are as defined in Claim 1, is reacted with a C₁₋₄ alkanecarboxylic acid or a reactive acylating salt thereof;

and, optionally, a base of the formula I is converted to a pharmaceutically suitable acid addition salt or liberated from the acid addition salt.

9. (Three times Amended) A pharmaceutical composition comprising a compound of the formula I



wherein

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X and Y each stand for hydrogen or together form a double bond;

R is a group of the formula $-(CH_2)_n-R^1-$, wherein
n is 0, 1 or 2 and

R^1 is halogen or a group of the formula NR^2R^3 ,
wherein R^2 and R^3 independently represent hydrogen, C_{1-4} alkoxy, C_{3-6} cycloalkyl or C_{1-4} alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent;

with the proviso that if X and Y together form a double bond, then n is 1 or 2; or n is 0 and one of R^2 and R^3 is hydrogen and the other is C_{1-4} alkyl optionally substituted with a 5 to 6

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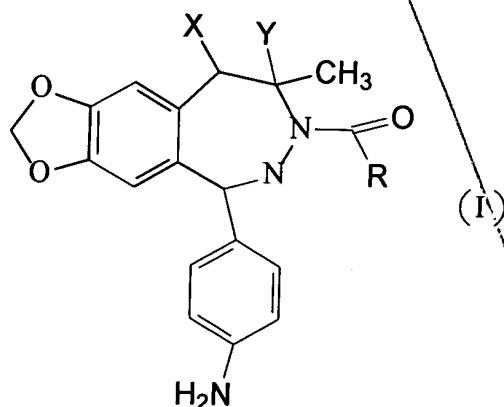
membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient and one or more conventional carrier(s).

16. (Four Times Amended) A method of treatment in which a

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patient suffering from epilepsy or being in a state after stroke is treated with a non-toxic dose of the compound of formula I,



wherein

X and Y each stand for hydrogen or together form a double bond;

R is a group of the formula $-(CH_2)_n-R^1-$, wherein

n is 0, 1 or 2 and

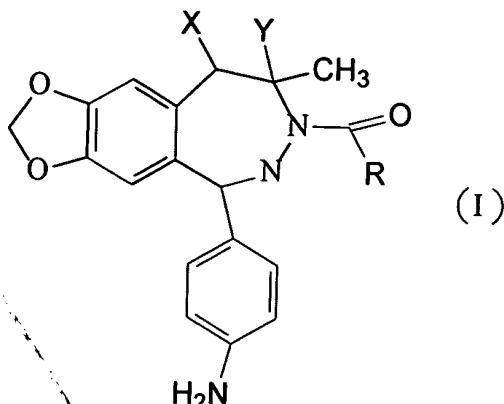
R^1 is halogen or a group of the formula NR^2R^3 , wherein R^2 and R^3 independently represent hydrogen, C_{1-4}

alkoxy, C₃₋₆ cycloalkyl or C₁₋₄ alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent;

with the proviso that if X and Y together form a double bond, then n is 1 or 2; or n is 0 and one of R² and R³ is hydrogen and the other is C₁₋₄ alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent;

or a pharmaceutically suitable acid addition salt thereof.

17. (Four Times Amended) A process for preparing a pharmaceutical composition suitable for the treatment of epilepsy or a state after stroke, characterized in that a compound of the formula I,

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cont

wherein

X and Y each stand for hydrogen or together form a double bond;

F4 R is a group of the formula $-(\text{CH}_2)_n-\text{R}^1-$, wherein

n is 0, 1 or 2 and

R^1 is halogen or a group of the formula NR^2R^3 , wherein R^2 and R^3 independently represent hydrogen, C_{1-4} alkoxy, C_{3-6} cycloalkyl or C_{1-4} alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent;

with the proviso that if X and Y together form a double bond, then n is 1 or 2; or n is 0 and one of R^2 and R^3 is hydrogen and the other is C_{1-4} alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and

one oxygen atom and may optionally have an oxo group
 substituent;
 or a pharmaceutically suitable acid addition salt thereof, together
 with one or more conventional carrier(s), is converted to a
 pharmaceutical composition.

Please add the following new claim:

18. (new) A compound which is selected from the group
 consisting of (\pm) -5-(4-aminophenyl)-7,8-dihydro-8-methyl-7-/N-(4-
 morpholinoethyl)carbamoyl/-9H-1,3-dioxolo/4,5-h//2,3/-
 benzodiazepine, (\pm) -5-(4-aminophenyl)-7-(N-cyclopropylcarbamoyl)-
 7,8-dihydro-8-methyl-9H-1,3-dioxolo/4,5-h//2,3/benzodiazepine, (\pm) -
 5-(4-aminophenyl)-7,8-dihydro-8-methyl-7-(N-methoxycarbamoyl)-9H-
 1,3-dioxolo-/4,5-h//2,3/benzodiazepine, (\pm) -5-(4-aminophenyl)-7-(N-
 aminocarbamoyl)-7,8-dihydro-8-methyl-9H-1,3-dioxolo/4,5-h/-
 /2,3/benzodiazepine, 5-(4-aminophenyl)-8-methyl-7H-1,3-dioxolo-
 /4,5-h//2,3/benzodiazepine-7-carboxylic acid-(2-morpholino-4-
 ylethyl)amide, 5-(4-aminophenyl)-7-(2-chloroacetyl)-8-methyl-7H-
 1,3-dioxolo/4,5-h//2,3/benzodiazepine, 5-(4-aminophenyl)-7-(3-
 chloropropionyl)-8-methyl-7H-1,3-dioxolo/4,5-h//2,3/benzodiazepine,
 and 1-[2-/5-(4-aminophenyl)-8-methyl-7H-1,3-dioxolo/4,5-
 h//2,3/benzodiazepine-7-yl/-2-oxoethyl] pyrrolidine-2-one
 monohydrate.